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## PATENT APPLICATION

No. 74 32643

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### 0-(alkylsulfonyl)-glycolic anilides.

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C 07 C 143/68; A 01 N 9/14, 9/20.

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Depositor: Company known as: BASF AKTIENGESELLSCHAFT, residing in the Federal  
Republic of Germany.

Invention of:

Bearer: Idem

Proxy: Robert Bloch, Patent Attorney, 39 Friedland Avenue,  
75008 Paris.

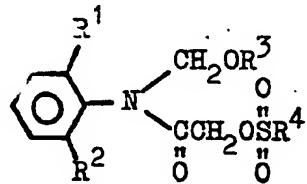
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The present invention relates to new anilides made from 0-(alkylsulfonyl)-glycolic acids with good herbicidal action, their production and application as herbicides, as well as herbicides containing these compounds.

It is known that chloroacetic acid-N-isopropylanilide (German Patent 1 014 380) may be used as an herbicide. Its herbicidal action is poor.

We have now found that anilides made from 0-(alkylsulfonyl) glycolic acids having the following formula:



in which

R<sup>1</sup> and R<sup>2</sup> denote alkyl of 1 to 4 carbon atoms, R<sup>3</sup> denotes alkyl group on C<sub>1</sub> to C<sub>6</sub>, an alkoxyalkyl, haloalkyl, alkenyl, halogen alkenyl, alkynyl, cycloalkyl or heterocycloalkyl group on R<sup>4</sup> is an alkyl, halogen alkyl, cycloalkyl, alkenyl, halogen alkenyl or aralkyl group, provide good herbicidal action.

R<sup>1</sup> and R<sup>2</sup> are, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl or tert-butyl groups.

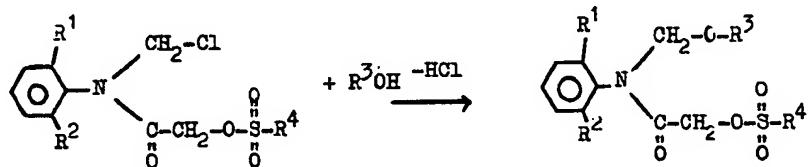
R<sup>3</sup> may for example be a methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, sec-butyl, amyl or branched amyl, 2-chloroethyl, 2, 2, 2-trifluoroethyl, 1, 3-dichloroisopropyl, 1, 3-dibromoisopropyl, methoxyethyl, methoxyethoxyethyl group, an allyl, propargyl, butyn-1-yl-3, 3-methylbutyn-1-yl-3 group, a cyclopropyl group.

R<sup>4</sup> may for instance be a methyl, chloromethyl, ethyl, 2-chloroethyl, propyl, isopropyl, butyl, isobutyl, sec-butyl, tert-butyl, pentyl, cyclopentyl, hexyl, cyclo-hexyl, benzyl, vinyl, allyl, butenyl or hexenyl group.

The new compounds have a excellent herbicidal effect against grass weeds, including millet species. The new compounds may be prepared by various

processes:

1. Through the reaction of substituted N-chloromethyl-O-alkylsulfonylglycolic anilides with an R<sup>3</sup>OH alcohol in accordance with the following equation:

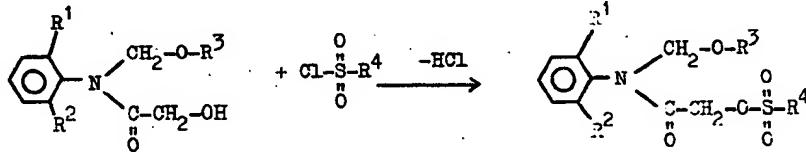


in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> have the above indicated meanings.

The reaction is carried out in the presence of an acid-binding agent, the alcohol being preferably employed as alkali metal alcoholate.

The N-chloromethyl-O-alkylsulfonylglycolic anilides (which are necessary to the reaction) may be prepared by adding O-alkylsulfonylglycolic chloride to N-methylene aniline.

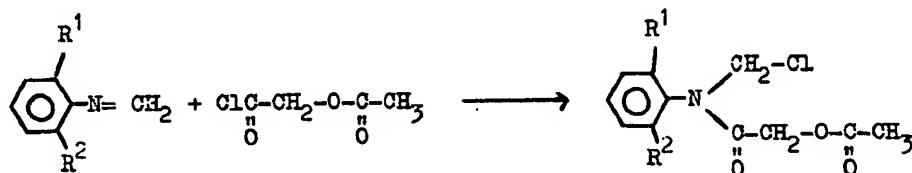
2. The compounds may also be prepared in accordance with the following equation:



in which R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> have the above indicated meanings.

This reaction is preferably carried out in the presence of an acid-binding agent.

The substituted glycolic anilides (which are necessary to the reaction) may be prepared using the following reactions:



in which R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> have the same meaning as previously indicated.

Etherification may be carried out by obtaining the alkoxyethyl group and splitting of the acetyl residue may also be carried out stepwise.

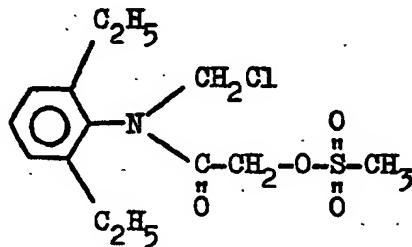
The second method is preferred.

Example 1

N-chloromethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide

At a temperature of between 0° and 3°C and while stirring, a solution of 86.5 parts (by weight) of 0-methylsulfonylglycolic chloride and 150 parts of ether was added to a solution of 80.5 parts of N-methylene-2, 6-diethyl aniline in 150 parts of ether. After having been stirred for 2 hours at between 5° and 10°C the reaction mixture was cooled to 0°C. The precipitated addition product was suction filtered, washed with cold ether and dried in a vacuum desiccator. (Melting point (m.p): 105° to 107°C.

The compound has the following structural formula:



The following compounds may be prepared in the same way: N-chloromethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide, m. p. 69° to 70°C

N-chloromethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide, m. p. 80° to 82°C.

N-chloromethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide.

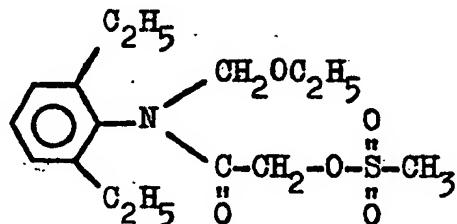
0-chloromethylsulfonylglycolic anilide is known from U.S. Patent 3,200,138.

Example 2

N-ethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide

At a temperature of between 15° and 25° C, a sodium ethylate solution prepared from 9.2 parts of sodium and 250 parts of ethanol was stirred into a solution of 133.4 parts of N-chloro-methyl-2, 6-diethyl-0-methylsulfonylglycolic anilide in 400 parts of ethanol. This was stirred for 1 hour at room temperature. The precipitated sodium chloride was separated and concentrated in vacuum from the reaction solution. The residue was dissolved in 300 parts of ethyl acetate and washed twice with water. The organic solution was dried with magnesium sulfate, and, upon concentration in vacuum, left a syrupy residue which crystallized out slowly at room temperature: m.p. 38° to 41 °C. The analytically pure substance was obtained by crystallization from a mixture of ether and oil ether. m.p. 43° to 44°C.

The compound has the following formula:



The following compounds may be prepared in the same way:

2, 6-diethyl-0-methylsulfonylglycolic anilide, m.p. 57° to 58°C

N-propoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide,  $n_D^{25} = 1.5115$

N-isopropoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide,  $n_D^{25} = 1.5130$

N-butoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide,  $n_D^{25} = 1.5080$

N-isobutoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide,  $n_D^{25} = 1.5085$

N-sec-butoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide  
N-tert-butoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide  
N-methoxyethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide  
N-allyloxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide, m.p. 64° to 65

°C

N-ethoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide, m.p. 60° to 62°C  
N-propoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide,  $n_D^{25}$  - 1.5155  
N-isopropoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide,  $n_D^{25}$  = 1.5130  
N-butoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide  
N-allyloxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide  
N-methoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide, m.p. 44° to

45°C

N-ethoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-propoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-isopropoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-butoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-isobutoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-sec-butoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-methoxyethoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide

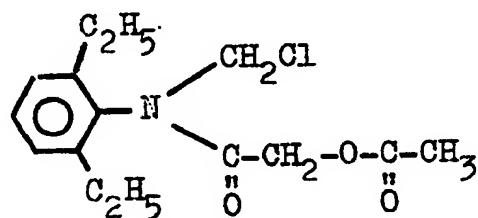
N-ethoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-diisopropyl-0-methylsulfonylglycolic anilide  
N-methoxymethyl-2-methyl-6-isopropyl-0-methylsulfonylglycolic anilide  
N-ethoxymethyl-2-methyl-6-isopropyl-0-methylsulfonylglycolic anilide  
N-propoxymethyl-2-methyl-6-isopropyl-0-methylsulfonylglycolic anilide  
N-isopropoxymethyl-2-methyl-6-isopropyl-0-methylsulfonylglycolic anilide

Example 3

N-chloromethyl-2, 6-diethyl-0-acetylglycolic anilide

At 0°C and while stirring, a solution of 161 parts of N-methylene-2, 6-diethyl aniline in 250 parts of ether was added to a solution of 136.5 parts of acetoxyacetyl chloride in 150 parts of dry ether. To complete the reaction the mixture was stirred for 2 hours at 25°C. The small amount of undissolved material was removed and the clear solution concentrated in vacuum. 250 parts of ligroin was added and the whole cooled with ice water, after which the crystalline product was suction filtered: m.p. 51° to 54°C. m.p. 51° to 54 °C. The analytically pure product had a melting point of 56° to 58°C, after crystallization in an ether/ligroin mixture.

The compound has the following formula:



The following compounds were able to be prepared in the same way:

N-chloromethyl-2, 6-dimethyl-0-acetylglycolic anilide

N-chloromethyl-2, 6-methyl-6-ethyl-0-acetylglycolic anilide

N-chloromethyl-2, 6-diisopropyl-0-acetylglycolic anilide

N-chloromethyl-2-methyl-6-isopropyl-0-acetylglycolic anilide

Example 4

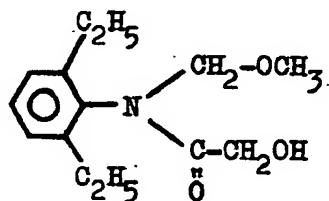
N-methoxymethylglycolic acid-2, 6-diethyl anilide

At 15° to 20°C and while stirring, 131 parts of a 35 % solution of sodium methylate in methanol and additionally diluted with 100 parts of methanol, was added to a solution of 119 parts of N-chloromethyl-2, 6-diethyl-0-acetylglycolic anilide in 400 parts of methanol.

To complete the reaction the mixture was stirred for 15 hours at room temperature. To finish the processing, the reaction mixture was then neutralized and concentrated in vacuum. The residue was dissolved with acetic acid ethyl ester and washed twice with water. The organic solution was dried with magnesium sulfate and concentrated in vacuum. The syrupy residue was purified by distillation.

Boiling point (0.05 mm): 120° to 125°C  $n_D^{25}$ : 1.5255.

The compound has the following formula:



The following compounds were able to be prepared in the same way:

N-ethoxymethylglycolic acid-2, 6-diethyl anilide b.p. (0.01 mm) 136° to 141°C,

$n_D^{25}$  = 1.5176

N-methoxymethylglycolic acid-2, 6-diethyl anilide

N-isopropoxymethylglycolic acid-2, 6-diethyl anilide

Boiling point (0.01 mm): 125° to 134°C  $n_D^{25}$ : 1.5146.

N-butoxymethylglycolic acid-2, 6-diethyl anilide b.p. (0.01 mm) 147° to 154°C,  
 $n_D^{25} = 1.5112$

N-isobutoxymethylglycolic acid-2, 6-diethyl anilide  
N-methoxymethylglycolic acid-2, 6-dimethyl anilide  
N-ethoxymethylglycolic acid-2, 6-dimethyl anilide  
N-propoxymethylglycolic acid-2, 6-dimethyl anilide  
N-isopropoxymethylglycolic acid-2, 6-dimethyl anilide  
N-butoxymethylglycolic acid-2, 6-dimethyl anilide  
N-sec-butoxymethylglycolic acid-2, 6-dimethyl anilide  
N-isobutoxymethylglycolic acid-2, 6-dimethyl anilide  
N-methoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-ethoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-propoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-isopropoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-butoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-isobutoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-sec-butoxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-allyloxymethylglycolic acid-2-methyl-6-ethyl anilide  
N-methoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-ethoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-propoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-isopropoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-butoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-isobutoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-sec-butoxymethylglycolic acid-2, 6-diisopropyl anilide  
N-allyloxymethylglycolic acid-2, 6-diisopropyl anilide

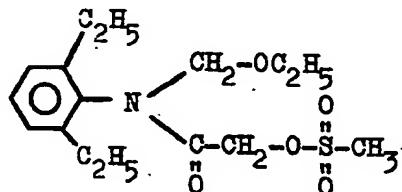
Example 5

N-ethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide

At 0° to 5°C, a solution of 13.8 parts of methanesulfonyl chloride in 20 parts of dichloromethane was added to a solution of 26.5 parts of N-ethoxymethylglycolic acid-2, 6-diethyl anilide and 13.2 parts of triethylamine in 100 parts of dichloromethane. The reactive mixture was stirred for 2 hours at room temperature. To finish the processing, the mixture was washed with ice-cold water and sodium hydrogen carbonate solution. The organic solution was dried with magnesium sulfate and concentrated in vacuum. The syrupy residue ( $n_D^{25}$  1.5170) was dissolved in an ether and ligroin mixture and crystallized by cooling with solid CO<sub>2</sub>/acetone.

m.p. 43° to 45°C.

The compound has the following formula:



The following compounds may be prepared in the same way: N-ethoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide

N-ethoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide

N-ethoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide

N-ethoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide

N-ethoxymethyl-2, 6-diethyl-0-benzylsulfonylglycolic anilide

N-methoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide

N-methoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide

N-methoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide

N-methoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-diethyl-0-benzylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-diethyl-0-propylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide

N-methoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide  
N-methoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide  
N-ethoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-ethoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide  
N-ethoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide  
N-ethoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide  
N-propoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide  
N-isopropoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide  
N-butoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-dimethyl-0-ethylsulfonylglycolic anilide  
N-isobutoxymethyl-2, 6-dimethyl-0-propylsulfonylglycolic anilide

N-isobutoxymethyl-2, 6-dimethyl-0-isopropylsulfonylglycolic anilide

N-isobutoxymethyl-2, 6-dimethyl-0-chloromethylsulfonylglycolic anilide

The agents are usable in the form of directly sprayable solutions, powders, suspensions, dispersions, emulsions, oil dispersions, pastes, dusts, broadcasting agents, or granules by spraying, atomizing clouds, dusting, broadcasting or sprinkling. The forms of application depend entirely on the purpose for which the agents are being used; in any case they should ensure a fine distribution of the active ingredients.

As liquid carriers for the preparation of solutions, emulsions, pastes and oil dispersions to be sprayed direct, organic liquids boiling above 150°C, e.g. mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, and also coal-tar oils, and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons such as benzene, toluene, xylene, paraffin, tetrahydro-naphthalene, alkylated naphthalenes and their derivatives such as methanol, ethanol, propanol, butanol, chloroform, carbon tetrachloride, cyclohexanol, cyclohexanone, chlorobenzene and isophorone; and strongly polar solvents such as dimethylformamide, dimethyl sulfoxide, N-methylpyrrolidone and water, etc. are suitable.

Aqueous formulations may be prepared from emulsion concentrates, pastes, or wettable powders (sprayable powders), or oil dispersions by adding water. To prepare emulsions, pastes or oil dispersions, the ingredients as such or dissolved in a solvent or an oil may be homogenized by means of wetting or dispersing agents, adherents or emulsifiers. Concentrates which are suitable for dilution with water may also be prepared from the active ingredients, an adherent, wetting agent, emulsifying or dispersing agent and possibly, a solvent or oil.

Examples of surfactants that may be mentioned, are:

alkali metal, alkaline earth metal and ammonium salts of ligninsulfonic acid, naphthalenesulfonic acids, phenolsulfonic acids, alkylaryl sulfonates, alkyl sulfates, and alkyl sulfonates, alkali metal and alkaline earth metal salts of dibutylnaphthalenesulfonic acid,

lauryl ether sulfate, fatty alcohol sulfates, alkali metal and alkaline earth metal salts of fatty acids, salts of sulfated hexadecanols, heptadecanols, and octadecanols, salts of sulfated fatty alcohol glycol ethers, condensation products of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensation products of naphthalene or naphthalenesulfonic acids with phenol and formaldehyde, poly-oxyethylene octylphenol ethers, ethoxylated iso-octylphenol, ethoxylated octoyl-phenol and ethoxylated nonylphenol, alkylphenol polyglycol ethers, tributyl-phenyl polyglycol ethers, alkylaryl polyether alcohols, isotridecyl alcohol, fatty alcohol ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignin, sulfite waste liquors and methyl cellulose.

Powders, dusts and broadcasting and dissemination agents may be prepared by mixing or grinding the active ingredients with a solid carrier.

Granules, for example, that are coated, impregnated or homogeneous, may be prepared by bonding the active ingredients to solid carriers.

Examples of solid carriers are mineral earths such as silicic acid, silica gels, silicates, talc, kaolin, Attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground plastics, fertilizers such as ammonium sulfate, ammonium phosphate, ammonium nitrate, and ureas, and vegetable products such as grain flours, bark meal, wood and nutshell meal, cellulose powders, and other solid carriers.

The compounds contain between 0.1 and 95%, and preferably between 0.5 and 90% by weight of active ingredients.

The following may be added separately to the mixes or products, such as oils of various types, herbicides, fungicides, nematocides, insecticides, bactericides, trace elements, fertilizers, antifoaming products

(e.g., silicones), growth regulators, antidotes and other herbicidally effective compounds such as:

substituted anilines,  
substituted aryloxycarboxylic acids and their salts, esters and amides,  
substituted ethers,  
substituted arsenic acids and their salts, esters and amides,  
substituted benzimidazoles,  
substituted benzothiazoles,  
substituted benzothiadiazinone dioxides,  
substituted benzimidazoles,  
substituted benzoxazines,  
substituted benzothiadiazoles,  
substituted biurets,  
substituted quinolines,  
substituted carbamates,  
substituted aliphatic carboxylic acids and their salts, esters and amides,  
substituted aromatic carboxylic acids and their salts, esters and amides,  
substituted carbamoylalkylthiol- or -dithiophosphates,  
substituted quinazolines,  
substituted thiol-(cycloalkylamide)-carboxylic acids and their salts, esters and amides,  
substituted cycloalkylcarbonamidothiazoles,  
substituted dicarboxylic acids and their salts, esters and amides,  
substituted dihydrobenzofuranyl sulfonate,  
substituted disulfides,  
substituted dipyridylium salts,  
substituted dithiocarbamates,  
substituted dithiophosphoric acids and their salts, esters and amides,  
substituted urea,  
substituted hexahydro-1 H-carbothioate,  
substituted hydantoin,  
substituted hydrazides,  
substituted hydrazonium salts,  
substituted isoxazole pyrimidone,

substituted imidazoles,  
substituted isothiazole pyrimidone,  
substituted ketones,  
substituted naphthoquinone,  
substituted aliphatic nitriles,  
substituted aromatic nitriles,  
substituted oxadiazole,  
substituted odadiazinones,  
substituted oxadiazolidinedione,  
substituted oxadiazinedione,  
substituted phenols and their salts, esters and amides,  
substituted phosphonic acids and their salts, esters and amides,  
substituted phosphonium chloride,  
substituted phosphonalkyl glycines,  
substituted phosphites,  
substituted phosphoric acids and their salts, esters and amides,  
substituted piperidine,  
substituted pyrazole,  
substituted pyrazole alkylcarboxylic acids and their salts, esters and amides,  
substituted pyrazolium salts,  
substituted pyrazolium alkyl sulfates,  
substituted pyridazine,  
substituted pyridazone,  
substituted pyridine carboxylic acids and their salts, esters and amides,  
substituted pyridine,  
substituted pyridine carboxylates,  
substituted pyridinone,  
substituted pyrimidine,  
substituted pyrimidone,  
substituted pyrrolidine carboxylic acids and their salts, esters and amides,  
substituted pyrrolidine,  
substituted pyrrolidones,  
substituted arylsulfonic acids and their salts, esters and amides,  
substituted styrenes,

substituted tetrahydrooxadiazinedione,  
substituted tetrahydrooxadiazoledione,  
substituted tetrahydromethanoindene,  
substituted tetrahydrooxadiazoledione,  
substituted tetrahydrothiadiazine thione,  
substituted tetrahydrothiadiazole dione,  
substituted aromatic thiocarbonylamides,  
substituted thiocarboxylic acids and their salts, esters and amides,  
substituted thiol carbamates,  
substituted thioureas,  
substituted thiophosphoric acids and their salts, esters and amides,  
substituted triazines,  
substituted triazoles,  
substituted uracils, and,  
substituted uretidine diones,

If necessary, right before use (in the tank). The last-mentioned herbicidal compounds may also be applied before or after the active ingredients or compounds thereof according to the invention. They may be mixed or used separately.

These agents may be added to the herbicides according to the invention in a ratio by weight of from 1:10 to 10:1. The same applies to oils, fungicides, nematocides, insecticides, bactericides, antidotes and growth regulators.

The compounds in accordance to the invention may be, with others, used one or several times before or after planting, before sowing, and before, during or after the emergence of the crops or undesirable plants.

The amount used of the compounds according to the invention may vary. The amount used depends mainly upon the type of effect desired.

It is generally between 0.1 and 15 kg or more, preferably from 0.2 to 6, kg of active compound per hectare.

Example 6

In the greenhouse, loamy sandy soil was filled into the test pots and sown with various seeds. Immediately thereafter, the soil was treated with N-ethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide (I),

- III N-methoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- IV N-methoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide
- V N-methoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide
- VI N-propoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- VII N-isopropoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- VIII N-methoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- IX N-ethoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- X N-propoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- XI N-isopropoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- XII N-methoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide
- XIV N-ethoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide
- XV N-methoxymethyl-0-ethylsulfonylglycolic acid-2, 6-diethyl anilide
- XVI N-propoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide
- XVII N-isopropoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide
- XVIII N-isobutoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide,

and with N-isopropyl- $\alpha$ -chloroacetanilide (II) as a comparative agent and

- XIII N-methoxymethyl-2-chloroaceto-2, 6-diethyl anilide.

3 kg of active ingredient is applied per hectare, each being dispersed or emulsified in 500 liters of water per hectare respectively. After 3 to 4 weeks it was ascertained that active ingredients I to XII and XIV to XVIII had a better herbicidal action than comparative active ingredients II and XIII, combined with the same crop plant compatibility.

The results of the tests are given below:

Active Ingredient	I	II	III	IV	V	VI
kg/ha	3	3	3	3	3	3

Crop Plants:

Brassica napus	0	0	0	0	0	0
Zea mays	0	0	0	0	0	0
Sorghum bicolor	0	0	0	0	0	0
Glycine max	0	0	0	0	5	0
Gossypium hirsutum	0	0	0	0	0	0
Beta vulgaris	-	-	10	10	0	0

Undesirable Plants:

Lolium multiflorum	100	90	95	92	95	90
Poa annua	100	80	90	90	100	85
Echinochloa crus galli	100	75	90	90	90	80
Digitaria sanguinalis	100	70	80	85	90	75
Setaria faberii	100	80	90	90	95	90
Poa trivialis	100	75	85	80	90	80

0 = no effect

100 = total destruction

Active Ingredient	VII	VIII	IX	X	XI	XII	XIII
kg/ha	3	3	3	3	3	3	3

Crop Plants:

Brassica napus	0	0	0	0	0	0	40
Zea mays	0	0	0	0	0	0	5
Sorghum bicolor	0	0	0	0	0	0	20
Glycine max	0	0	0	0	0	0	7
Gossypium hirsutum	0	0	0	0	0	0	10
Beta vulgaris	0	0	0	0	-	0	60

Undesirable Plants:

Lolium multiflorum	90	90	95	95	100	90	90
Poa annua	82	100	95	100	100	95	90
Echinochloa crus galli	80	100	100	100	100	100	95
Digitaria sanguinalis	76	80	90	90	90	85	95
Setaria faberii	85	90	100	100	100	100	95
Poa trivialis	80	90	90	90	92	90	95

Active Ingredient	XIV	XV	XVI	XVII	XVIII
kg/ha	3	3	3	3	3

Crop Plants:

Brassica napus	0	0	0	0	0
Zea mays	0	0	0	0	0
Sorghum bicolor	0	0	0	0	0
Glycine max	0	0	0	0	0
Gossypium hirsutum	0	0	0	0	0
Beta vulgaris	0	0	0	0	0

Undesirable Plants:

Lolium multiflorum	90	95	95	100	100
Poa annua	90	95	100	95	100
Echinochloa crus galli	100	100	100	100	100
Digitaria sanguinalis	100	100	95	95	100
Setaria faberii	95	100	95	95	100
Poa trivialis	95	95	95	95	100

0 = no effect

100 = total destruction

Example 7

In the greenhouse, various plants were treated at a growth height of from 2 to 18 cm with N-ethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide (I),

- III N-methoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- IV N-methoxymethyl-2, 6-diethyl-0-chloromethylsulfonylglycolic anilide
- V N-methoxymethyl-2, 6-diethyl-0-isopropylsulfonylglycolic anilide
- VI N-propoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- VII N-isopropoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide
- VIII N-methoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- IX N-ethoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide
- X N-propoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide

XI N-isopropoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide  
XII N-methoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide  
XIV N-ethoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide  
XV N-methoxymethyl-0-ethylsulfonylglycolic acid-2, 6-diethyl anilide  
XVI N-propoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide  
XVII N-isopropoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide  
XVIII N-isobutoxymethyl-0-methylsulfonylglycolic acid-2-methyl-6-ethyl anilide,  
and with N-isopropyl- $\alpha$ -chloroacetanilide (II) as a comparative agent and  
XIII N-methoxymethyl-2-chloroaceto-2, 6-diethyl anilide.

3 kg of active ingredient is applied per hectare, each being dispersed or  
emulsified in 500 liters of water per hectare respectively:

After 3 to 4 weeks it was ascertained that active ingredients I, III to XII and XIV to  
XVIII had a better herbicidal action than comparative compounds II and XIII,  
combined with superior compatibility with *Glycine max.* and *Gossypium hirsutum*.

The results of the tests are given below:

Active Ingredient	I	II	III	IV	V	VI	VII
kg/ha	3	3	3	3	3	3	3

Crop Plants:

<i>Brassica napus</i>	0	0	0	0	0	0	0
<i>Zea mays</i>	0	0	0	0	0	0	0
<i>Sorghum bicolor</i>	0	0	0	0	0	0	0
<i>Glycine max</i>	0	20	0	0	0	0	0
<i>Gossypium hirsutum</i>	0	20	0	0	0	0	0
<i>Beta vulgaris</i>	-	-	-	0	0	5	-

Undesirable Plants:

<i>Lolium multiflorum</i>	100	40	80	70	70	80	70
<i>Poa annua</i>	100	25	95	60	64	80	70
<i>Echinochloa crus galli</i>	60	30	60	65	60	65	70
<i>Digitaria sanguinalis</i>	65	50	60	65	60	60	65
<i>Setaria faberii</i>	60	30	65	68	64	65	65
<i>Poa trivialis</i>	100	60	90	80	70	75	75

Active Ingredient	VIII	IX	X	XI	XII	XIII
kg/ha	3	3	3	3	3	3

Crop Plants:

Brassica napus	10	--	0	-	0	30
Zea mays	0	0	0	0	0	5
Sorghum bicolor	0	0	0	0	0	15
Glycine max.	0	0	0	0	0	5
Gossypium hirsutum	0	0	0	0	0	5
Beta vulgaris	0	5	0	5	0	20

Undesirable Plants:

Lolium multiflorum	80	70	75	80	70	45
Poa annua	90	65	70	90	65	50
Echinochloa crus galli	70	60	70	75	65	40
Digitaria sanguinalis	65	60	65	70	60	40
Setaria faberii	70	60	70	75	67	45
Poa trivialis	80	70	70	75	70	40

Active Ingredient	XIV	XV	XVI	XVII	XVII
kg/ha	3	3	3	3	3

Crop Plants:

Brassica napus	0	0	0	0	0
Zea mays	0	0	0	0	0
Sorghum bicolor	0	0	0	0	0
Glycine max.	0	0	0	0	0
Beta vulgaris	0	0	0	0	0

Undesirable Plants:

Lolium multiflorum	85	70	80	70	90
Poa annua	90	75	80	70	90
Echinochloa crus galli	80	70	75	75	85
Digitaria sanguinalis	75	75	85	75	85
Setaria faberii	75	75	80	70	85
Poa trivialis	85	80	75	80	90

0 = no effect

100 = total destruction

Example 8

When 90 parts by weight of compound I is mixed with 10 parts by weight of N-methyl-a-pyrrolidone, a solution is obtained which is suitable for application in the form of very fine drops.

Example 9

20 parts by weight of compound I is dissolved in a mixture consisting of 80 parts by weight of xylene, 10 parts by weight of the adduct of 8 to 10 moles of ethylene oxide to 1 mole of N-monoethanolamide, 5 parts of the calcium salt of dodecylbenzenesulfonic acid, and 5 parts by weight of the adduct of 40 moles of ethylene oxide to 1 mole of castor oil. By pouring the solution into 100,000 parts by weight of water and uniformly distributing it therein, an aqueous dispersion is obtained containing 0.02% by weight of the active ingredient.

Example 10

20 parts by weight of compound I is dissolved in a mixture consisting of 40 parts by weight of cyclohexanone, 30 parts by weight of isobutanol, 20 parts by weight of the adduct of 7 moles of ethylene oxide to 1 mole of isoctylphenol, and 10 parts by weight of the adduct of 40 moles of ethylene oxide to 1 mole of castor oil. By pouring the solution into 100,000 parts by weight of water and uniformly distributing it therein, an aqueous dispersion is obtained containing 0.02% by weight of the active ingredient.

Example 11

20 parts by weight of compound I is dissolved in a mixture consisting of 25 parts by weight of cyclohexanol, 65 parts by weight of a mineral oil fraction having a boiling point between 210° and 280°C, and 10 parts by weight of the adduct of 40 moles of ethylene oxide to 1 mole of castor oil. By pouring the solution into 100,000 parts by weight of water and uniformly distributing it therein, an aqueous dispersion is obtained containing 0.02% by weight of the active ingredient.

Example 12

20 parts by weight of active ingredient I is well mixed with 3 parts by

weight of the sodium salt of diisobutyl naphthalene- $\alpha$ -sulfonic acid, 27 parts by weight of the sodium salt of a ligninsulfonic acid obtained from a sulfite waste liquor, and 60 parts by weight of powdered silica gel, and triturated in a hammer mill. By uniformly distributing the mixture in

20,000 parts by weight of water, a spray liquid is obtained containing 0.1% by weight of the active ingredient.

Example 13

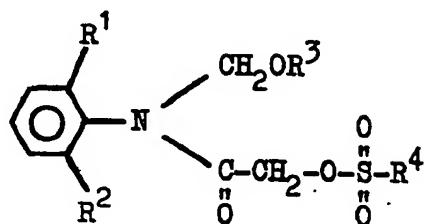
3 parts by weight of compound I is well mixed with 97 parts by weight of kaolin. A dust is obtained containing 3% by weight of the active ingredient.

Example 14

30 parts by weight of compound I is well mixed with a mixture consisting of 92 parts by weight of powdered silica gel and 8 parts by weight of paraffin oil which has been sprayed onto the surface of said silica gel. A formulation of the active ingredient is obtained that provides good adherence.

CLAIMS

1. - A 0-(alkylsulfonyl)-glycolic anilide of the formula:



in which

R<sup>1</sup> and R<sup>2</sup> denote alkyl of 1 to 4 carbon atoms, R<sup>3</sup> denotes alkyl group on C<sub>1</sub> to C<sub>6</sub>, an alkoxyalkyl, alkoxyalkoxyalkyl, haloalkyl, alkenyl, halogen alkenyl, alkynyl, cycloalkyl or heterocycloalkyl group on R<sup>4</sup> is an alkyl, halogen alkyl, cycloalkyl, alkenyl, or aralkyl group.

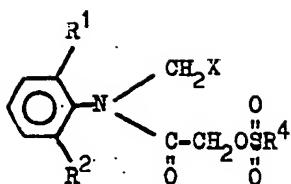
2. - An herbicidal agent containing a 0-(alkylsulfonyl)-glycolic anilide as claimed in claim 1.

3. - An herbicidal agent containing a solid or liquid carrier and an 0-(alkylsulfonyl)-glycolic anilide as claimed in claim 1.

4. - Preparatory procedure for an herbicidal agent, characterized by the fact that an 0-(alkylsulfonyl)-glycolic anilide is mixed into a solid or liquid carrier as claimed in claim 1.

5. - Process in which undesirable plants are fought, characterized by the fact that either the plants or the ground are treated with an 0-(alkylsulfonyl)-glycolic anilide as claimed in Claim 1.

6. - Preparatory procedure of a 0-(alkylsulfonyl)-glycolic anilide according to Claim 1, characterized by the fact that the 0-(alkylsulfonyl)-glycolic anilide is made to react, and has the formula:

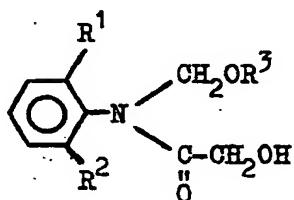


in which R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> have the meanings specified in Claim 1, with an alcohol with the general formula as follows:

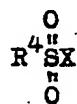


in which R<sup>3</sup> has the meaning specified in Claim 1.

7. A preparatory procedure of an O-(alkyl-sulfonyl)-glycolic anilide as claimed in any of claims 1, which has been produced by a process in which a glycolic anilide of the formula



in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings specified in claim 1, is reacted in the presence of an alkylsulfonyl halide having the following formula



where R<sup>4</sup> has the meaning specified in claim 1, and X denotes chloro or bromo.

8. - N-methoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide.

9. - N-ethoxymethyl-2, 6-diethyl-0-methylsulfonylglycolic anilide.

10. - N-propoxymethyl-2, 6-diethyl-0- methysulfonylglycolic anilide.

11. - N-isopropoxymethyl-2,6-diethyl-0-methylsulfonylglycolic anilide.
12. - N-methoxymethyl-2, 6-diethyl-0-ethylsulfonylglycolic anilide.
13. - N-methoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide.
14. - N-ethoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide.
15. - N-propoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide.
16. - N-isopropoxymethyl-2, 6-dimethyl-0-methylsulfonylglycolic anilide.
17. - N-methoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide
18. - N-ethoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide
19. - N-propoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide
20. - N-isopropoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide
21. - N-isobutoxymethyl-2-methyl-6-ethyl-0-methylsulfonylglycolic anilide



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